

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of: )  
KOLTER et al. ) Applications

Serial No. Not Assigned )

Filed: )

For: SOLID ORAL DOSAGE FORMS WITH DELAYED RELEASE OF ACTIVE  
INGREDIENT AND HIGH MECHANICAL STABILITY

PRELIMINARY AMENDMENT

Hon. Commissioner of Patents and Trademarks  
Washington, D.C. 20231

Sir:

Prior to examination, kindly amend the above-identified application as follows.

IN THE CLAIMS

Please amend the claims as follows:

3. An oral dosage form as claimed in claim 1 [either of claims 1 or 2], wherein a formulated mixture of polyvinyl acetate and polyvinylpyrrolidone in the ratio 8:2 is employed.
4. An oral dosage form as claimed in claim 1 [any of claims 1 to 3], which is a tablet, extrudate, pellet or granulate.
5. An oral dosage form as claimed in claim 1 [any of claims 1 to 4], wherein a water-soluble or water-insoluble release-delaying coating is applied to the oral dosage form.
6. An oral dosage form as claimed in claim 1 [any of claims 1 to 5], wherein the water-soluble or lipophilic polymers are selected from the group of: polyvinyl alcohols,

polyethylene glycols, polyoxyethylene/polyoxypropylene block copolymers, polyvinylpyrrolidones and derivatives, vinyl acetate/vinylpyrrolidone copolymers, preferably polyethylene glycols, polyvinylpyrrolidones, vinyl acetate/vinylpyrrolidone copolymers or maltodextrins, and salts thereof.

7. An oral dosage form as claimed in claim 1 [any of claims 1 to 6], wherein the water-soluble swelling polymers are selected from the group of: alginates, pectins, galactomannans, carrageenans, dextran, curdlan, pullulan, gellan, chitin, gelatin, xanthans, hemicelluloses, cellulose derivatives such as methylcellulose, hydroxypropylmethylcellulose, hydroxypropylcellulose, hydroxyethylcellulose, methylhydroxyethylcellulose, carboxymethylcellulose, starch derivatives such as carboxymethyl starch, degraded starch, polyacrylic acid, polymethacrylic acid, acrylic acid/methacrylic acid copolymers, and salts thereof.

8. An oral dosage form as claimed in claim 1 [any of claims 1 to 6], wherein the lipophilic additives are selected from the group of: cellulose derivatives such as ethylcellulose, cellulose acetate, cellulose acetate phthalate, cellulose acetate succinate, hydroxypropylmethylcellulose acetate phthalate, hydroxypropylmethylcellulose acetate succinate, acrylic ester/methacrylic ester copolymers, in particular methyl methacrylate/ethyl acrylate copolymers, ammoniomethacrylate copolymer type A and type B, methacrylic acid/acrylic ester copolymers, in particular methacrylic acid/ethyl acrylate copolymers, fatty alcohols such as stearyl alcohol, fatty acids such as stearic acid, fatty acid esters and fatty alcohol esters, glycerides, waxes, lecithin.

9. An oral dosage form as claimed in claim 1 [any of claims 1 to 7], which is produced by direct compression, extrusion, melt extrusion, pelleting, compaction, wet granulation.

10. An oral dosage form as claimed in claim 1 [any of claims 1 to 8], wherein binders, extenders/fillers, disintegrants, lubricants, flow regulators, dyes, stabilizers such as antioxidants, wetting agents, preservatives, release agents, flavorings and sweeteners are employed as conventional excipients.

11. An oral dosage form as claimed in claim 1 [any of claims 1 to 9], wherein the formulated mixture of polyvinyl acetate and polyvinylpyrrolidone is present in a proportion of from 10 to 80% based on the total weight of the tablet.

12. An oral dosage form as claimed in claim 1 [any of claims 1 to 10], wherein the water-soluble polymers and/or the lipophilic additives are present in a proportion of from 1 to 40% based on the total weight of the tablet.

13. An oral dosage form as claimed in claim 1 [any of claims 1 to 11], wherein hydroxypropylmethylcelluloses are employed as water-soluble polymers.

14. An oral dosage form as claimed in claim 1 [any of claims 1 to 12], wherein polyvinylpyrrolidones or vinyl acetate/vinylpyrrolidone copolymers are employed as water-soluble polymers.

15. An oral dosage form as claimed in claim 1 [any of claims 1 to 14], which is a press-coated tablet whose core is rich in active ingredient.

16. An oral dosage form as claimed in claim 1 [any of claims 1 to 15], which

comprises as active ingredients food supplements or additives, vitamins, minerals or trace elements or active pharmaceutical ingredients.

17. An oral dosage form as claimed in claim 1 [any of claims 1 to 16], which comprises active pharmaceutical ingredients as active ingredients.

18. A dosage form as claimed in claim 1 [any of claims 1 to 17], wherein the active pharmaceutical ingredient is selected from the group of benzodiazepines, antihypertensives, vitamins, cytostatics, anesthetics, neuroleptics, antidepressants, antibiotics, antimycotics, fungicides, chemotherapeutics, urologicals, platelet aggregation inhibitors, sulfonamides, spasmolytics, hormones, immunoglobulins, sera, thyroid therapeutics, psychopharmaceuticals, antiparkinson agents and other antihyperkinetics, ophthalmologicals, neuropathy products, calcium metabolism regulators, muscle relaxants, lipid-lowering agents, liver therapeutics, coronary agents, cardiac agents, immunotherapeutics, regulatory peptides and their inhibitors, hypnotics, sedatives, gynecologicals, antigout agents, fibrinolytics, enzyme products and transport proteins, enzyme inhibitors, emetics, perfusion promoters, diuretics, diagnostics, corticoids, cholinergics, biliary therapeutics, antiasthmatics, bronchospasmolytics, beta-receptor blockers, calcium channel blockers, ACE inhibitors, arteriosclerosis remedies, antiinflammatory agents, anticoagulants, antihypotensives, antihypoglycemics, antifibrinolytics, antiepileptics, antiemetics, antidotes, antidiabetics, antiarrhythmics, antianemics, antiallergics, anthelmintics, analgesics, analeptics, aldosterone antagonists, weight-reducing agents.

19. A drug for delayed release of active ingredient, which is an oral dosage form as

claimed in claim 1 [any of claims 1 to 18].

20. The use of the oral dosage forms as claimed in claim 1 [any of claims 1 to 17] for producing drugs with delayed release of active ingredient.

21. The use of the oral dosage forms as claimed in claim 1 [any of claims 1 to 17] for delayed release of active ingredients which are food supplements or additives, vitamins, minerals or trace elements.

REMARKS

The claims have been amended to eliminate multiple dependency. No new matter has been added. A clean copy of the claims is attached.

Entry of the above amendment is respectfully solicited.

Respectfully submitted,

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## COPY OF CLAIMS FILED IN THE PRELIMINARY AMENDMENT

1. An oral dosage form with delayed release of active ingredient and high mechanical stability, comprising
  - a) one or more active ingredients
  - b) a formulated mixture of polyvinyl acetate and polyvinylpyrrolidone
  - c) water-soluble polymers or low or high molecular weight lipophilic additives
  - d) and other conventional excipients.
2. An oral dosage form as claimed in claim 1, wherein the ratio of polyvinyl acetate to polyvinylpyrrolidone is from 6:4 to 9:1.
3. An oral dosage form as claimed in either of claims 1, wherein a formulated mixture of polyvinyl acetate and polyvinylpyrrolidone in the ratio 8:2 is employed.
4. An oral dosage form as claimed in claim 1, which is a tablet, extrudate, pellet or granulate.
5. An oral dosage form as claimed in claim 1, wherein a water-soluble or water-insoluble release-delaying coating is applied to the oral dosage form.
6. An oral dosage form as claimed in claim 1, wherein the water-soluble or lipophilic polymers are selected from the group of: polyvinyl alcohols, polyethylene glycols, polyoxyethylene/polyoxypropylene block copolymers, polyvinylpyrrolidones and derivatives, vinyl acetate/vinylpyrrolidone copolymers, preferably polyethylene glycols, polyvinylpyrrolidones, vinyl

acetate/vinylpyrrolidone copolymers or maltodextrins, and salts thereof.

7. An oral dosage form as claimed in claim 1, wherein the water-soluble swelling polymers are selected from the group of: alginates, pectins, galactomannans, carrageenans, dextran, curdlan, pullulan, gellan, chitin, gelatin, xanthans, hemicelluloses, cellulose derivatives such as methylcellulose, hydroxypropylmethylcellulose, hydroxypropylcellulose, hydroxyethylcellulose, methylhydroxyethylcellulose, carboxymethylcellulose, starch derivatives such as carboxymethyl starch, degraded starch, polyacrylic acid, polymethacrylic acid, acrylic acid/methacrylic acid copolymers, and salts thereof.

8. An oral dosage form as claimed in claim 1, wherein the lipophilic additives are selected from the group of: cellulose derivatives such as ethylcellulose, cellulose acetate, cellulose acetate phthalate, cellulose acetate succinate, hydroxypropylmethylcellulose acetate phthalate, hydroxypropylmethylcellulose acetate succinate, acrylic ester/methacrylic ester copolymers, in particular methyl methacrylate/ethyl acrylate copolymers, ammoniomethacrylate copolymer type A and type B, methacrylic acid/acrylic ester copolymers, in particular methacrylic acid/ethyl acrylate copolymers, fatty alcohols such as stearyl alcohol, fatty acids such as stearic acid, fatty acid esters and fatty alcohol esters, glycerides, waxes, lecithin.

9. An oral dosage form as claimed in claim 1, which is produced by direct compression, extrusion, melt extrusion, pelleting, compaction, wet granulation.

10. An oral dosage form as claimed in claim 1, wherein binders, extenders/fillers, disintegrants, lubricants, flow regulators, dyes, stabilizers such as antioxidants, wetting agents, preservatives, release agents, flavorings and sweeteners are employed as conventional excipients.
11. An oral dosage form as claimed in claim 1, wherein the formulated mixture of polyvinyl acetate and polyvinylpyrrolidone is present in a proportion of from 10 to 80% based on the total weight of the tablet.
12. An oral dosage form as claimed in claim 1, wherein the water-soluble polymers and/or the lipophilic additives are present in a proportion of from 1 to 40% based on the total weight of the tablet.
13. An oral dosage form as claimed in claim 1, wherein hydroxypropylmethylcelluloses are employed as water-soluble polymers.
14. An oral dosage form as claimed in claim 1, wherein polyvinylpyrrolidones or vinyl acetate/vinylpyrrolidone copolymers are employed as water-soluble polymers.
15. An oral dosage form as claimed in claim 1, which is a press-coated tablet whose core is rich in active ingredient.
16. An oral dosage form as claimed in claim 1, which comprises as active ingredients food supplements or additives, vitamins, minerals or trace elements or active pharmaceutical ingredients.
17. An oral dosage form as claimed in claim 1, which comprises active pharmaceutical ingredients as active ingredients.

18. A dosage form as claimed in claim 1, wherein the active pharmaceutical ingredient is selected from the group of benzodiazepines, antihypertensives, vitamins, cytostatics, anesthetics, neuroleptics, antidepressants, antibiotics, antimycotics, fungicides, chemotherapeutics, urologicals, platelet aggregation inhibitors, sulfonamides, spasmolytics, hormones, immunoglobulins, sera, thyroid therapeutics, psychopharmaceuticals, antiparkinson agents and other antihyperkinetics, ophthalmologicals, neuropathy products, calcium metabolism regulators, muscle relaxants, lipid-lowering agents, liver therapeutics, coronary agents, cardiac agents, immunotherapeutics, regulatory peptides and their inhibitors, hypnotics, sedatives, gynecologicals, antigout agents, fibrinolytics, enzyme products and transport proteins, enzyme inhibitors, emetics, perfusion promoters, diuretics, diagnostics, corticoids, cholinergics, biliary therapeutics, antiasthmatics, bronchospasmolytics, beta-receptor blockers, calcium channel blockers, ACE inhibitors, arteriosclerosis remedies, antiinflammatory agents, anticoagulants, antihypotensives, antihypoglycemics, antifibrinolytics, antiepileptics, antiemetics, antidotes, antidiabetics, antiarrhythmics, antianemics, antiallergics, anthelmintics, analgesics, analeptics, aldosterone antagonists, weight-reducing agents.

19. A drug for delayed release of active ingredient, which is an oral dosage form as claimed in claim 1.

20. The use of the oral dosage forms as claimed in claim 1 for producing drugs with delayed release of active ingredient.

21. The use of the oral dosage forms as claimed in claim 1 for delayed release of active ingredients which are food supplements or additives, vitamins, minerals or trace elements.